Claims

1. A compound of the formula

$$(A)_{1}$$

$$N$$

$$(CR^{2}_{2})$$

$$N$$

$$(CR^{3}_{2})_{n}$$

$$(CR^{3}_{2})_{n}$$

$$Y$$

$$(CR^{3}_{2})_{n}$$

and the salts, prodrugs and stereoisomeric forms thereof,

wherein X is $(CR_2^3)_0 - (CR_2^3 = CR_2^3)_p - (CR_2^3)_q - NR_2^5$; $(CR_2^3)_r - R_2^4$; a monocyclic or bicyclic ring optionally containing N, O or S; or a benzyl, each of which is optionally substituted; provided said benzyl is not substituted with a 5-6 membered aryl or heteroaryl via an L-NH-L linker, where each L is a bond, CO, SO₂ or CH₂;

Y is an optionally substituted nitrogen-containing monocyclic or bicyclic aromatic or partially aromatic moiety;

A and R¹ are each a non-interfering substituent, and provided that two As do not form an additional ring:

R² and R³ are independently H or an optionally substituted alkyl;

R⁴ is an optionally substituted heterocyclic ring; or a hetero compound containing at least one =O, SO, C=N, cyano, NROR, or halo, wherein said hetero compound is optionally substituted with a heterocyclic ring;

R⁵ is H or alkyl;

wherein at least one of R¹ and R² is not H; and wherein R¹ and R² may be connected to form an additional ring if Y does not contain a 2-imidazoyl residue optionally connected to an additional ring;

1 and n are independently 0-4;p is 0-1;o and q are independently 1-4;r is 1-6;

provided that if X is $(CR_2^3)_r - R^4$, r is at least two if R^4 is 2-pyridinyl, quinolinyl, imidazolyl or furan; and

further provided that said compound is not (1-pyridin-2-ethyl)-(2-pyridin-2-yl-ethyl)-pyridin-2-ylmethyl-amine.

- 2. The compound of claim 1, wherein said noninterfering substituents are selected from alkyl (C_{1-10}), alkenyl (C_{2-10}), alkynyl (C_{2-10}), aryl (5-12 members), arylalkyl, arylalkenyl, and arylalkynyl, each of which may optionally contain one or more heteroatoms selected from O, S, and N and each of which may further be substituted.
- 3. The compound of claim 1, wherein said noninterfering substituents are selected from halo, CN, CF₃, NO₂, OR, SR, NR₂, COOR, and CONR₂, where R is H or alkyl, alkenyl, alkynyl or aryl.
- 4. The compound of claim 1, wherein each optionally substituted moiety is substituted with one or more inorganic substituents, halo; OR; C₁₋₆ alkyl or C₂₋₆ alkenyl optionally containing one or more N, O, or S, and optionally substituted with halo; cyano; optionally substituted carbonyl; NR₂; C=NR₂; an optionally substituted carbocyclic or heterocyclic ring; or an optionally substituted aryl or heteroaryl.
 - 5. The compound of claim 1, wherein X is a disubstituted benzyl.
- 6. The compound of claim 1, wherein X is $(CR_2^3)_0 (CR^3 = CR^3)_p (CR_2^3)_q NR_2^5$, and each R^3 and R^5 are independently H.
 - 7. The compound of claim 1, wherein p is 0.
 - 8. The compound of claim 7, wherein o and q together are 2-6.
- 9. The compound of claim 1, wherein X is $(CR_2^3)_r R^4$, wherein R^4 is an acyclic nitrogen-containing hetero compound.

- 10. The compound of claim 9, wherein R⁴ comprises a urea, hydroxyurea, sulfamide, acetamide, guanidine, cyanamide, hydroxylamine, cyanamide, imidazolidine-2-one, or a nicotinamide moiety.
- 11. The compound of claim 1, wherein X is $(CR_2)_p R^4$ and R^4 is a nitrogen-containing heterocyclic ring, or a heteroaryl.
- 12. The compound of claim 11, wherein R⁴ is azetidine, pyrrolidinyl, pyridinyl, thiophenyl, imidazolyl, or benzimidazolyl.
- 13. The compound of claim 1, wherein X is a monocylic or bicyclic ring optionally containing N, O or S.
- 14. The compound of claim 13, wherein X is cyclohexyl, piperidine, 8-aza-bicyclo[3.2.1]octane or 3-aza-bicyclo[3.2.1]octane.
- 15. The compound of claim 1, wherein Y is a nitrogen-containing monocyclic or bicyclic aromatic or partially aromatic moiety.
- 16. The compound of claim 15, wherein Y is a 5-6 membered ring containing nitrogen, and wherein said nitrogen is in said ring at a position adjacent the position attached to the remainder of the molecule.
 - 17. The compound of claim 15, wherein Y is a fused ring system.
- 18. The compound of claim 15, wherein Y is pyridine, pyrimidine, pyrazine, indole, benzimidazole, benzothiazole, imidazole, isoquinoline, tetrahydroquinoline, pyridazine, thiazole, or benzoimidazole.
- 19. The compound of claim 18, wherein Y is a tetrahydroquinoline system attached at position 8 to the remainder of the molecule.

- 20. The compound of claim 1, wherein A and R¹ are independently halo, optionally substituted aryl, arylalkyl; alkyl, alkoxy, or CF₃.
- 21. The compound of claim 1, which is selected from the group consisting of the compounds in Examples 1-441.
- 22. A pharmaceutical composition which comprises as active ingredient the compound of claim 1 along with at least one excipient.
- 23. A method to inhibit HIV infection which method comprises administering to a subject in need of such inhibition an effective amount of the compound of claim 1 or a pharmaceutical composition thereof.
- 24. The method of claim 23, which further comprises administering to said subject an additional agent useful in treating HIV.
- 25. A method to ameliorate a CXCR4-mediated condition, comprising administering to a subject in need of such amelioration an effective amount of the compound of claim 1 or a pharmaceutical composition thereof.
- 26. The method of claim 25, wherein said CXCR4-mediated condition is an inflammatory condition, asthma, or cancer.
- 27. The method of claim 26, wherein said inflammatory condition is rheumatoid arthritis.
- 28. A method to enhance the population of progenitor and/or stem cells in a subject, which method comprise

administering to said subject a compound of claim 1 or a pharmaceutical composition thereof;

in an amount effective to elevate said progenitor and/or stem cell population in said subject.

- 29. The method of claim 28 wherein the subject exhibits a hematopoietic deficit from chemotherapy or radiation therapy, or has a condition selected from the group consisting of aplastic anemia, leukemia and drug-induced anemia.
 - 30. The method of claim 28 wherein the subject is a transplantation recipient.
 - 31. The method of claim 28 wherein the subject is a healthy stem cell donor.
- 32. The method of claim 28 wherein said progenitor and/or stem cells enhance wound healing.
- 33. The method of claim 28 wherein said progenitor and/or stem cells ameliorate bacterial inflammation.
- 34. The method of claim 28 wherein said progenitor and/or stem cells restore damaged organ tissue.
- 35. The method of claim 28 wherein the compound is administered to said subject by an intravenous or subcutaneous route or oral route.
- 36. The method of claim 35 wherein the compound is administered to said subject by an oral route.
- 37. The method of claim 27 wherein the compound of formula (1) is administered to said subject in the dosage range of about 0.1 μ g/kg-5 mg/kg of body weight.
- 38. A method to enhance the population of progenitor and/or stem cells in peripheral blood or bone marrow which method comprises treating said peripheral blood or bone marrow with a compound of claim 1 in an amount effective to elevate said progenitor and/or stem cell population in said peripheral blood or bone marrow.
- 39. The method of claim 38 which further comprises treating said peripheral blood or bone marrow with macrophage inflammatory protein.

- 40. The method of claim 38 wherein said treating is ex vivo.
- 41. The method of claim 38 wherein said peripheral blood or bone marrow is derived from a subject who has been treated with G-CSF.
- 42. A pharmaceutical composition comprising an effective amount of the compound of claim 1 in unit dosage form for elevating progenitor and/or stem cell population in a subject.
- 43. The pharmaceutical composition of claim 42, which further comprises one or more of G-CSF, granulocyte-macrophage colony stimulating factor (GM-CSF), Interleukin-1 (IL-1), Interleukin-3 (IL-3), Interleukin-8 (IL-8), PIXY-321 (GM-CSF/IL-3 fusion protein), macrophage inflammatory protein, stem cell factor, thrombopoietin, and/or growth related oncogene.
- 44. A method to elevate progenitor and/or stem cell population in a subject which method comprises administering to said subject an amount of a compound that binds to the chemokine receptor CXCR4 sufficient to elevate said progenitor and/or stem cell population.
- 45. A method to elevate progenitor and/or stem cell population in peripheral blood or bone marrow which method comprises treating said peripheral blood or bone marrow with an amount of a compound that binds to the chemokine receptor CXCR4 sufficient to elevate the progenitor and/or stem cell population in said peripheral blood or bone marrow.
- 46. A method to effect regeneration of cardiac tissue in a subject which method comprises administering to a subject in need of such regeneration an amount of a compound that binds to the chemokine receptor CXCR4 sufficient to regenerate said tissue.
- 47. A method to treat a subject who would be benefited by elevation of white blood cell (WBC) count which method comprises

administering to said subject an amount of the compound of claim 1 in an amount effective to elevate said WBC count in said subject.

48. The method of claim 47 wherein the subject exhibits a hematopoietic deficit from chemotherapy or radiation therapy,

wherein the subject has a condition selected from the group consisting of a plastic anemia, leukemia and drug-induced anemia, or

wherein the subject is a transplantation recipient.

49. The method of claim 47 wherein said elevation of WBC count enhances wound healing, or

wherein said elevation of WB count ameliorates bacterial inflammation.

- 50. The method of claim 47 wherein the compound is administered to said subject by an intravenous or subcutaneous route or oral route.
- 51. The method of claim 50 wherein the compound is administered to said subject by an oral route.
- 52. The method of claim 47 wherein the compound of formula (1) is administered to said subject in the dosage range of about 0.1 μ g/kg-5 mg/kg of body weight.
- 53. A pharmaceutical composition comprising an effective amount of the compound of claim 1 in unit dosage form for elevating white blood cell count in a subject.
- 54. A method to effect regeneration of cardiac tissue in a subject by administering to said subject an amount of the compound of formula (1) as set forth in claim 1 in an amount effective to effect regeneration of cardiac tissue in said subject.
- 55. The method of claim 54 wherein the compound is administered to said subject by an intravenous or subcutaneous route or oral route.
- 56. The method of claim 55 wherein the compound is administered to said subject by an oral route.

57. The method of claim 54 wherein the compound of formula (1) is administered to said subject in the dosage range of about 0.1 μ g/kg-5 mg/kg of body weight.